

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	944	(546/112,514/299).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2008/01/30 10:33
L2	93	l1 and imidazol	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2008/01/30 10:33
L3	64	l2 and acetyl	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2008/01/30 10:33

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LOGINID:SSPTANXR1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	4	AUG 13	CA/Capplus enhanced with additional kind codes for granted patents
NEWS	5	AUG 20	CA/Capplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	7	AUG 27	USPATOLD now available on STN
NEWS	8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	10	SEP 13	FORIS renamed to SOFIS
NEWS	11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP 17	CA/Capplus enhanced with printed CA page images from 1967-1998
NEWS	13	SEP 17	Capplus coverage extended to include traditional medicine patents
NEWS	14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT 02	CA/Capplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	16	OCT 19	BEILSTEIN updated with new compounds
NEWS	17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	18	NOV 19	WPIX enhanced with XML display format
NEWS	19	NOV 30	ICSD reloaded with enhancements
NEWS	20	DEC 04	LINPADOCDB now available on STN
NEWS	21	DEC 14	BEILSTEIN pricing structure to change
NEWS	22	DEC 17	USPATOLD added to additional database clusters
NEWS	23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	24	DEC 17	DGENE now includes more than 10 million sequences
NEWS	25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	26	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	27	DEC 17	CA/Capplus enhanced with new custom IPC display formats
NEWS	28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	29	JAN 02	STN pricing information for 2008 now available
NEWS	30	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	31	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	32	JAN 28	MARPAT searching enhanced
NEWS	33	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	34	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	35	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,

CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:35:36 ON 30 JAN 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:35:54 ON 30 JAN 2008

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 29 JAN 2008 HIGHEST RN 1001040-86-3

DICTIONARY FILE UPDATES: 29 JAN 2008 HIGHEST RN 1001040-86-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

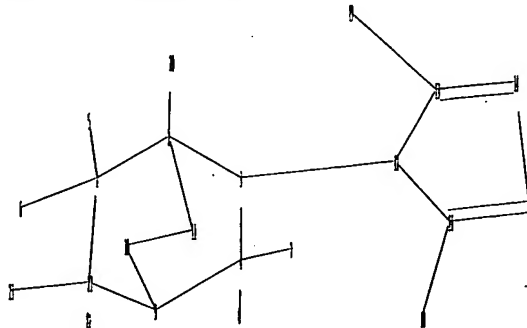
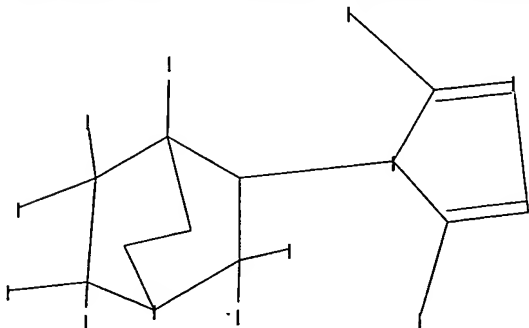
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10579609.str



chain nodes :  
 5 6 7 8 18 19 20 22 23  
 ring nodes :  
 1 2 3 4 9 10 11 12 13 14 15 16 21  
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 ring bonds :  
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 15-16  
 exact/norm bonds :  
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 containing 12 :

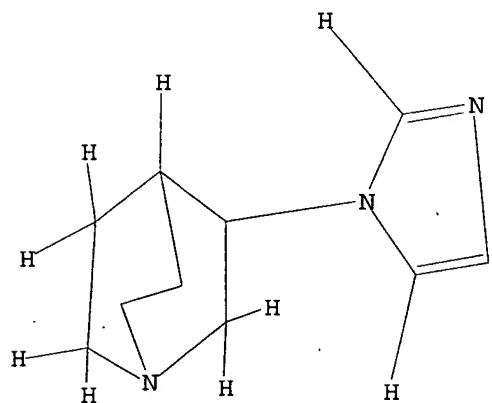
Match level :  
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 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 18:CLASS 19:CLASS 20:CLASS  
 21:Atom 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:36:10 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED 14 ITERATIONS  
 SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 56 TO 504  
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full  
FULL SEARCH INITIATED 10:36:15 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 362 TO ITERATE

100.0% PROCESSED 362 ITERATIONS 13 ANSWERS  
SEARCH TIME: 00.00.01

L3 13 SEA SSS FUL L1

=> file caplus	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'CAPLUS' ENTERED AT 10:36:20 ON 30 JAN 2008  
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FILE COVERS 1907 - 30 Jan 2008 VOL 148 ISS 5  
FILE LAST UPDATED: 29 Jan 2008 (20080129/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3 full  
L4 2 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:472155 CAPLUS

DOCUMENT NUMBER: 143:7863

TITLE: Preparation of 1-(azabicycyl)-4-substituted-imidazoles for use in pharmaceutical compositions as  $\alpha 4$  and  $\alpha 7$  nicotinic acetylcholine receptor (nAChR) agonists

INVENTOR(S): Empfield, James; Phillips, Eifion; Throner, Scott

PATENT ASSIGNEE(S): AstraZeneca AB, Sweden

SOURCE: PCT Int. Appl., 30 pp.

CODE: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

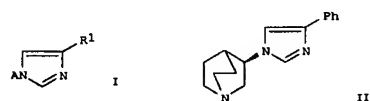
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PATENT INFORMATION:

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1687303	A1	20060809	EP 2004-800323	20041115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
CN 1882584	A	20061220	CN 2004-80034245	20041115
BR 2004016629	A	20070116	BR 2004-16629	20041115
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IN 2006DN02411	A	20070420	IN 2006-DN2411	20060501
MX 2006PA05416	A	20060719	MX 2006-PA5416	20060512
US 2007105896	A1	20070510	US 2006-579609	20060517
NO 2006002862	A	20060821	NO 2006-2862	20060619
SE 2003-3075	A		SE 2003-3075	20031119
PRIORITY APPLN. INFO:			WO 2004-SE1660	W 20041115

OTHER SOURCE(S): CASREACT 143:7863; MARPAT 143:7863

GI



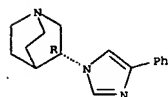
AB Azabicycyl-imidazole derivs., such as I (A = azabicycyl, such as

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 852633-60-4 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-[4-(4-phenyl-1H-imidazol-1-yl)]-, (3R)- (CA INDEX NAME)

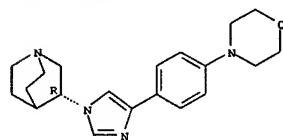
Absolute stereochemistry.



RN 852633-62-6 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-[4-(4-(4-morpholinyl)phenyl)-1H-imidazol-1-yl]]-, (3R)- (CA INDEX NAME)

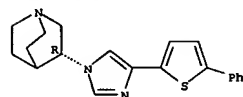
Absolute stereochemistry.



RN 852633-65-9 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-[4-(5-phenyl-2-thienyl)-1H-imidazol-1-yl]]-, dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

RN 852633-67-1 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-[4-(2-thienyl)-1H-imidazol-1-yl]]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

3-quinuclidinyl, or 1-azabicyclo[2.2.1]heptan-3-yl; R1 = aryl, heteroaryl, were prepd. for therapeutic use as  $\alpha 4$  and  $\alpha 7$  nAChR agonists. These imidazoles are claimed for use in the treatment of ulcerative colitis, as well as for use in the treatment or prophylaxis of neuro. disorders, psychotic disorders or intellectual impairment disorders, such as Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss, attention deficit hyperactivity disorder, Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapses, jetlag, nicotine addiction, craving, pain, anxiety, schizophrenia, mania or manic depression. Thus,

(R)-3-(4-phenylimidazol-1-yl)-1-azabicyclo[2.2.2]octane (II) was prepd. with 41% yield by cyclization of phenylglyoxal hydrate with (R)-(+)-3-aminoquinuclidine dihydrochloride, ammonium acetate and formaldehyde in AcOH. The prepd. imidazoles were assayed for binding affinity to the  $\alpha 4$  and  $\alpha 7$  nAChR subtypes using rat hippocampi.

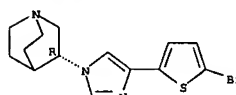
IT 852619-30-8P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(Preparation of 1-(azabicycyl)-4-substituted-imidazoles for use in pharmaceutical compns. as  $\alpha 4$  and  $\alpha 7$  nicotinic acetylcholine receptor (nAChR) agonists)

RN 852619-30-8 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-[4-(5-bromo-2-thienyl)-1H-imidazol-1-yl]]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 852619-19-3P 852633-60-4P, (R)-3-(4-Phenylimidazol-1-yl)-

1-azabicyclo[2.2.2]octane 852633-62-6P 852633-65-9P

852633-67-1P 852633-68-2P 852633-70-6P

852633-72-8P 852633-74-0P 852633-76-2P

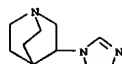
852633-78-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

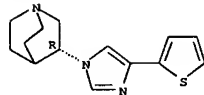
(Preparation of 1-(azabicycyl)-4-substituted-imidazoles for use in pharmaceutical compns. as  $\alpha 4$  and  $\alpha 7$  nicotinic acetylcholine receptor (nAChR) agonists)

RN 852619-19-3 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-(1H-imidazol-1-yl)]-, (CA INDEX NAME)



L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 852633-68-2 CAPLUS

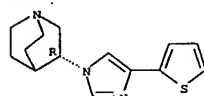
CN 1-Azabicyclo[2.2.2]octane, 3-[4-(2-thienyl)-1H-imidazol-1-yl]]-, (3R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 852633-67-1

CMF C14 H17 N3 S

Absolute stereochemistry.



CM 2

CRN 76-05-1

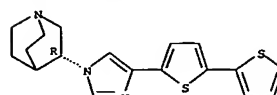
CMF C2 H F3 O2



RN 852633-70-6 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-[4-[2,2'-bithiophen]-5-yl]-1H-imidazol-1-yl]]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

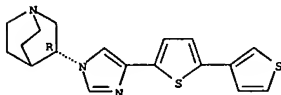


RN 852633-72-8 CAPLUS

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

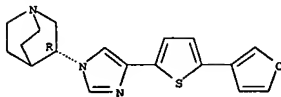
CN 1-Azabicyclo[2.2.2]octane,  
3-[4-(2,3'-bithiophen)-5-yl]-1H-imidazol-1-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



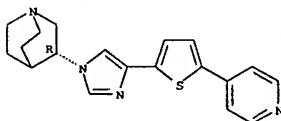
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Absolute stereochemistry.



RN 852633-76-2 CAPLUS  
CN 1-Azabicyclo[2.2.2]octane,  
3-[4-[5-(4-pyridinyl)-2-thienyl]-1H-imidazol-1-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry..



RN 852633-78-4 CAPLUS  
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3-[4-[5-(3-pyridinyl)-2-thienyl]-1H-imidazol-1-yl]-, (3R)- (CA INDEX NAME)

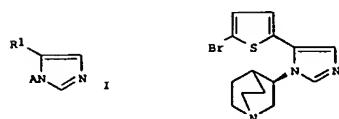
Absolute stereochemistry.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:472154 CAPLUS  
DOCUMENT NUMBER: 143:7862  
TITLE: Preparation of 1-(azabicyclyl)-5-substituted-imidazoles for use in pharmaceutical compositions as  $\alpha 4$  and  $\alpha 7$  nicotinic acetylcholine receptor (nAChR) agonists  
INVENTOR(S): Empfield, James; Phillips, Eifion; Throner, Scott  
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.  
SOURCE: PCT Int. Appl., 27 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

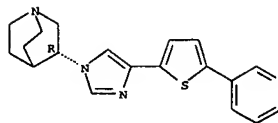
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049611	A1	20050602	WO 2004-SE1659	20041115
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PRIORITY APPLN. INFO.:			SE 2003-3076	A 20031119
			WO 2004-SE1659	W 20041115

OTHER SOURCE(S): CASREACT 143:7862; MARPAT 143:7862  
GI



AB Azabicyclyl-imidazole derivs., such as I [A = azabicyclyl, such as

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

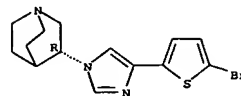


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

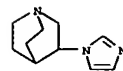
L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

3-quinuclidinyl, or 1-azabicyclo[2.2.1]heptan-3-yl; R1 = aryl, heteroaryl, were prepd. for therapeutic use as  $\alpha 4$  and  $\alpha 7$  nAChR agonists. These imidazoles are claimed for use in the treatment of ulcerative colitis, as well as for use in the treatment or prophylaxis of neurol. disorders, psychotic disorders or intellectual impairment disorders, such as Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss, attention deficit hyperactivity disorder, Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapses, jetlag, nicotine addiction, craving, pain, anxiety, schizophrenia, mania or manic depression. Thus,  
(R)-3-[5-(5-bromothiophen-2-yl)imidazol-1-yl]-1-azabicyclo[2.2.2]octane (II) was prepd. via dihydroxylation of 2-acetyl-5-bromothiophene using SeO2 in H2O and 1,4-dioxane to form the intermediate glyoxal hydrate, 1-(5-bromothiophen-2-yl)-2,2-dihydroxyethanone, in 73% yield, and subsequent cyclization of the glyoxal hydrate with (R)-(+)-3-aminoquinuclidine dihydrochloride, ammonium acetate and formaldehyde in AcOH and H2O to give the desired II in 33% yield. The prepd. imidazoles were assayed for binding affinity to the  $\alpha 4$  and  $\alpha 7$  nAChR subtypes using rat hippocampi.  
IT 852619-30-8P  
RL: BYP (Byproduct); PREP (Preparation)  
(preparation of 1-(azabicyclyl)-5-substituted-imidazoles for use in pharmaceutical compns. as  $\alpha 4$  and  $\alpha 7$  nicotinic acetylcholine receptor (nAChR) agonists)  
RN 852619-30-8 CAPLUS  
CN 1-Azabicyclo[2.2.2]octane, 3-[4-(5-bromo-2-thienyl)-1H-imidazol-1-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 852619-19-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 1-(azabicyclyl)-5-substituted-imidazoles for use in pharmaceutical compns. as  $\alpha 4$  and  $\alpha 7$  nicotinic acetylcholine receptor (nAChR) agonists)  
RN 852619-19-3 CAPLUS  
CN 1-Azabicyclo[2.2.2]octane, 3-(1H-imidazol-1-yl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT



=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

11.38

189.95

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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-1.60

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